

FIGURE 1

mAb	Mean Fluorescence Intensity		% Gated	
	L1.2-CCR5	PBMC	L1.2-CCR5	PBMC
mouse IgG1	10	4	1	1
2D7	75	29	92	36
PA8	48	9	73	3
PA9	79	5	96	3
PA10	80	8	96	5
PA11	107	8	96	10
PA12	115	8	96	8
PA14	81	14	96	22

FIGURE 2

INHIBITOR COMBINATION	CONCENTRATION RATIO	ASSAY	COMBINATION INDEX	
			90% Inhibition	50% Inhibition
PA12:2D7	10:1	Entry	0.043	0.291
	2:1	Fusion	0.017	0.019
	10:1	Fusion	0.087	0.067
	50:1	Fusion	0.158	0.046
PA12:PA14	10:1	Entry	0.437	0.535
	10:1	Fusion	0.22	0.263
PA14:2D7	1:1	Entry	2.85	1.85
	1:1	Fusion	1.34	1.74
PA12:PA11	1:1	Entry	0.707	0.641
PA12:RANTES	1000:1	Fusion	0.331	0.156
PA14:RANTES	100:1	Fusion	1.6	1.37
2D7:RANTES	100:1	Fusion	0.972	0.962
PA12:CD4-IgG2	10:1	Fusion	0.3	0.31
PA14:CD4-IgG2	1:1	Fusion	0.957	0.566
2D7:CD4-IgG2	1:1	Fusion	1.127	0.302

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FIGURE 3

	Epitopes	IC <sub>50</sub> values (μg/ml)			
		cell-cell fusion inhibition	viral entry inhibition	gp120-binding inhibition	calcium flux inhibition
PA8	Nt	-	-	-	-
PA9	Nt/ECL2	-	-	0.24	-
PA10	Nt/ECL2	-	-	0.13	-
PA11	Nt	25.5	-	0.33	-
PA12	Nt	10.0	-	0.24	-
PA14	Nt/ECL2	1.7	.024	1.58	6.4
2D7	ECL2	1.6	.026	1.38	45

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FIGURE 4

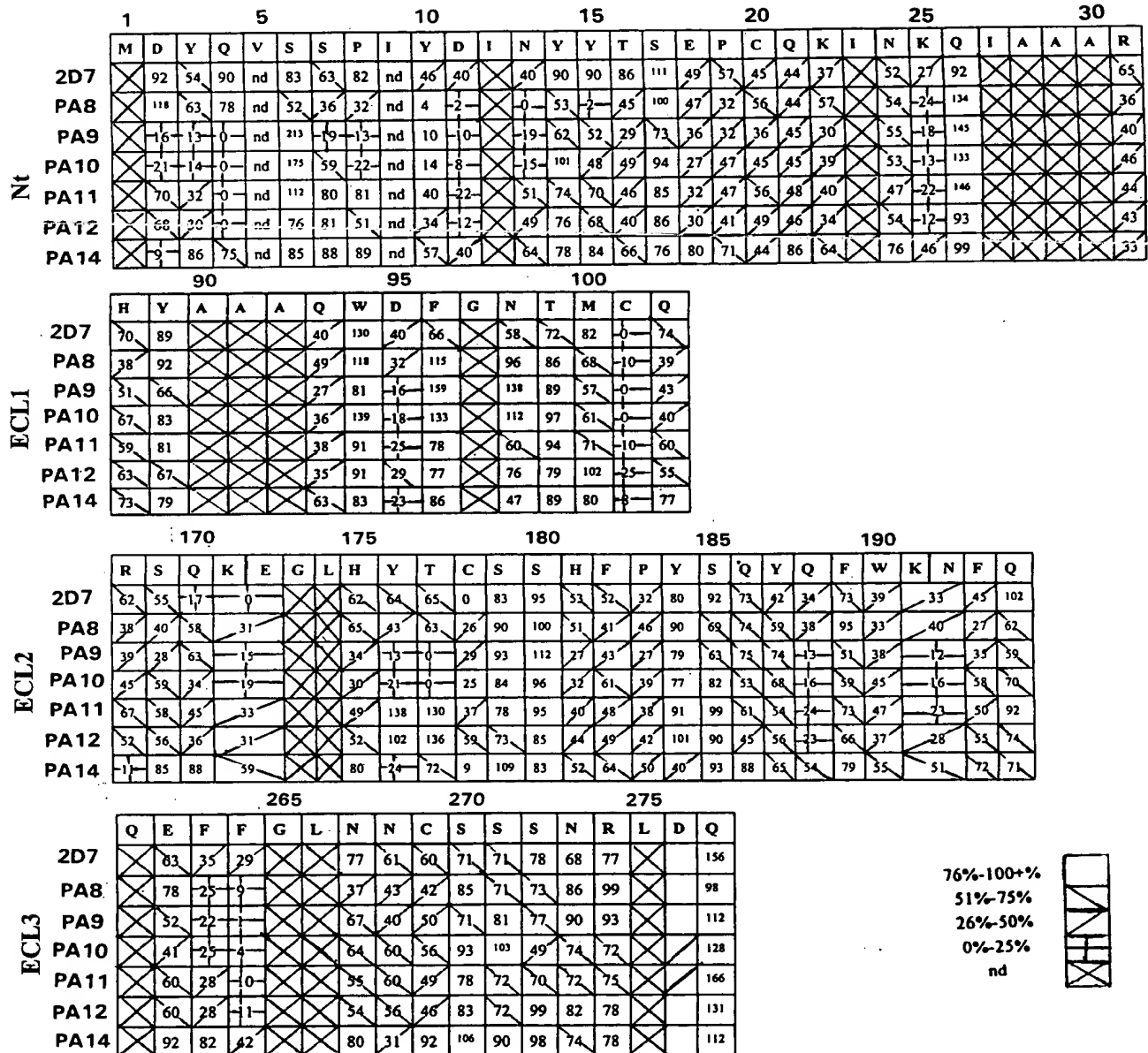


FIGURE 5A

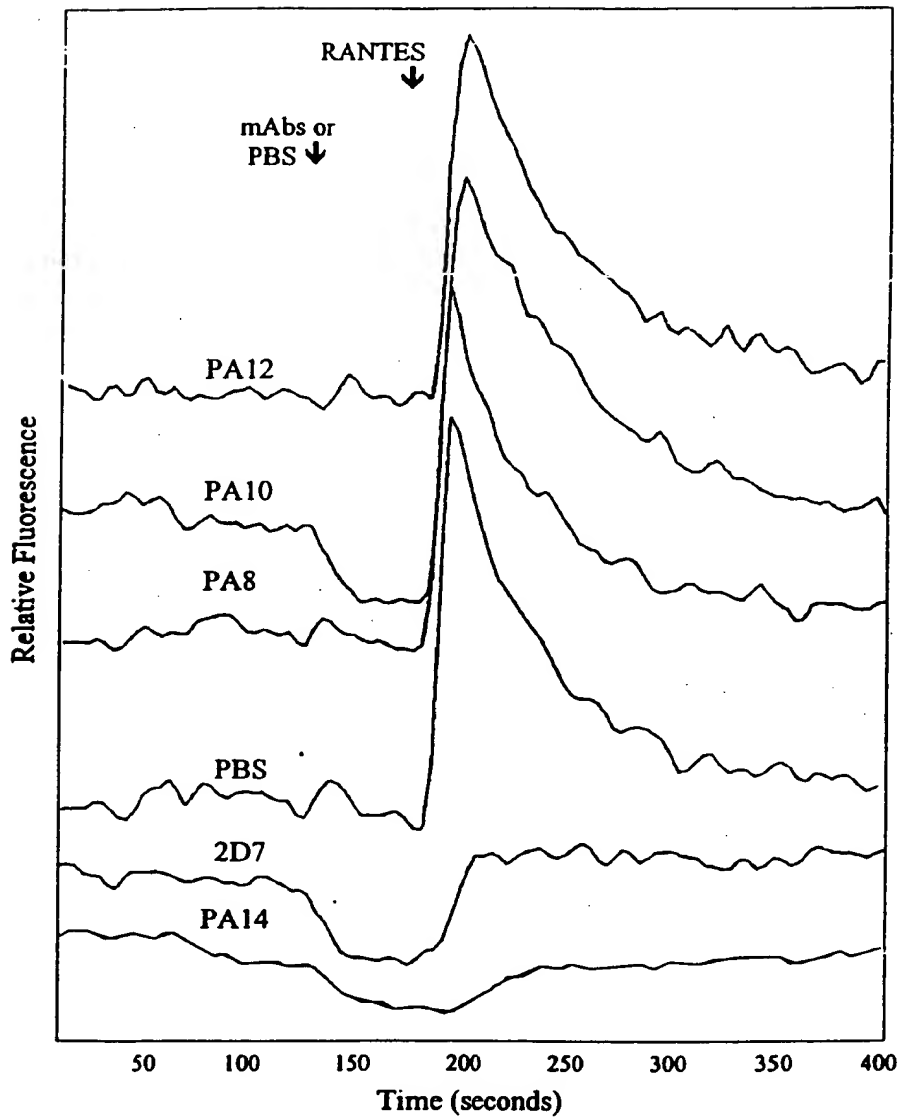
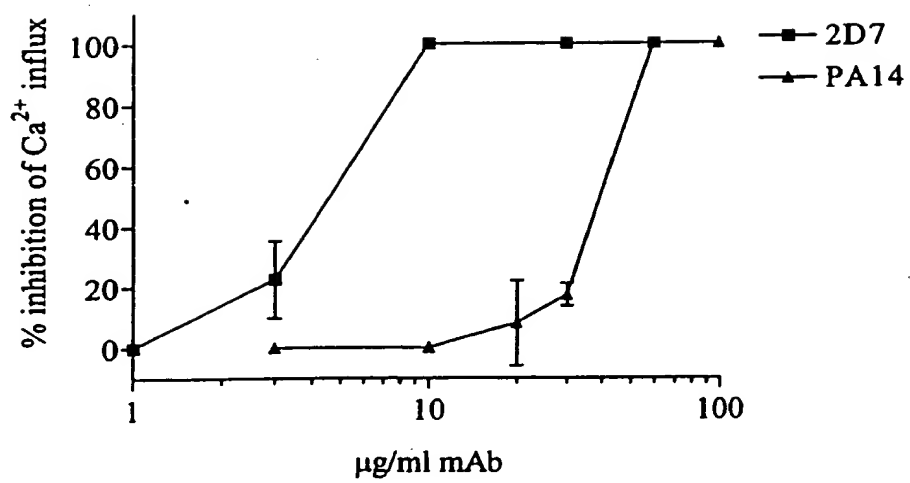


FIGURE 5B



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FIGURE 6A

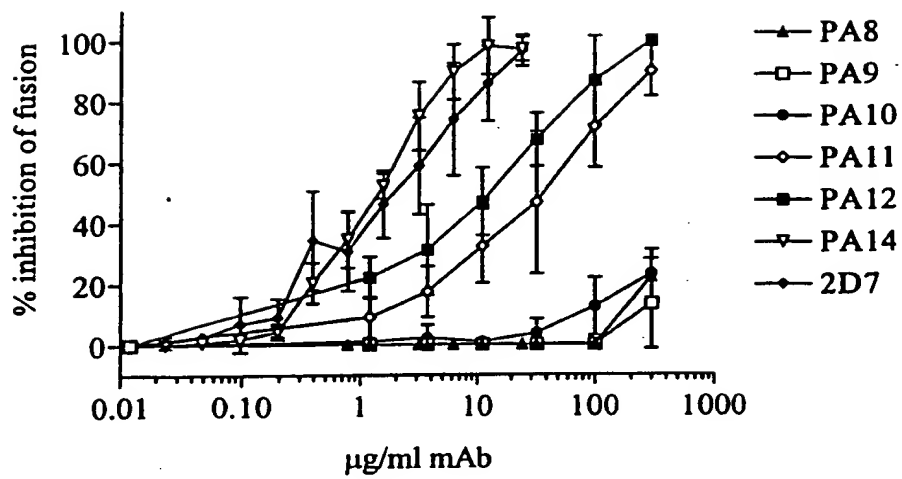


FIGURE 6B

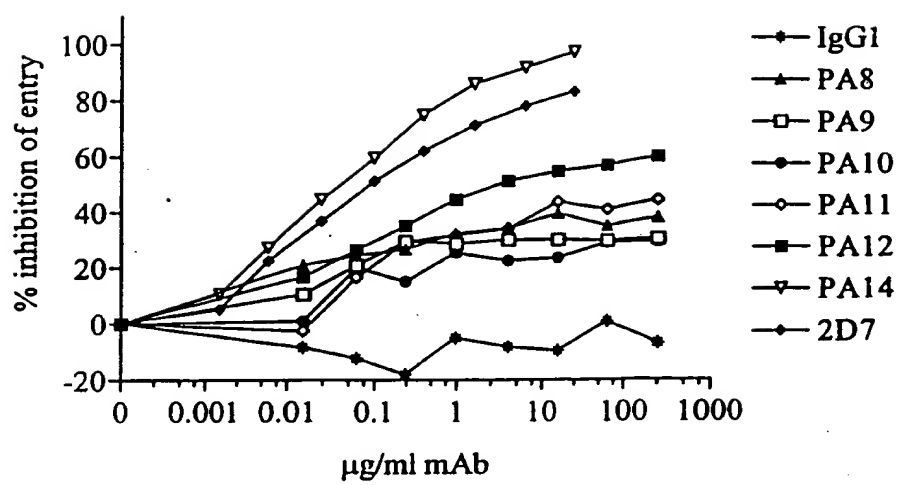


FIGURE 6C

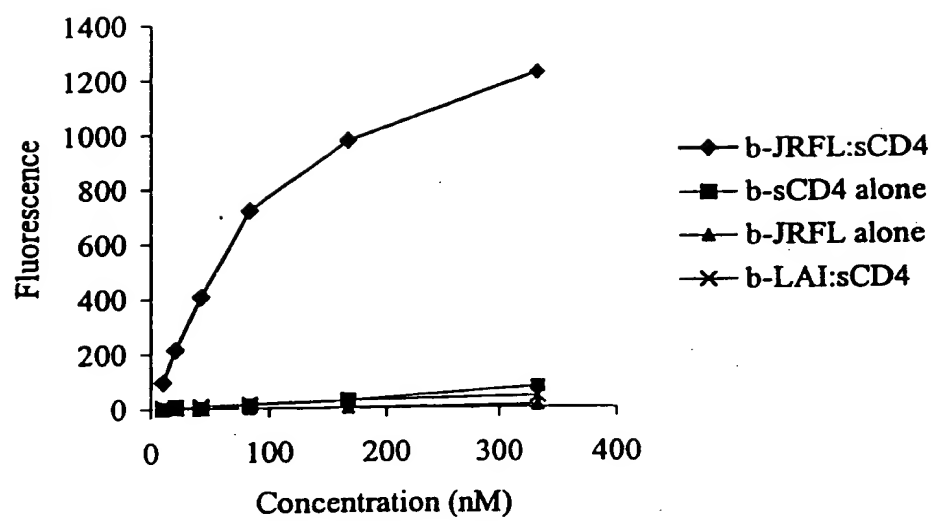


FIGURE 6D

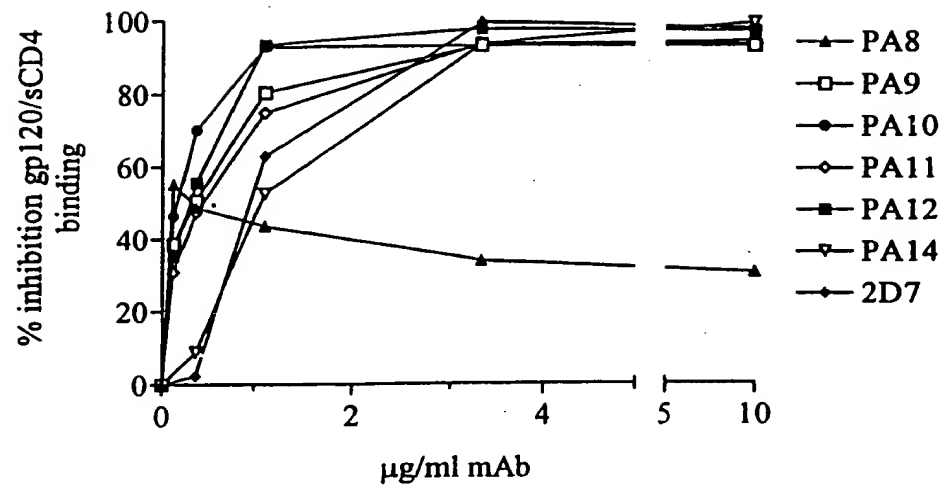
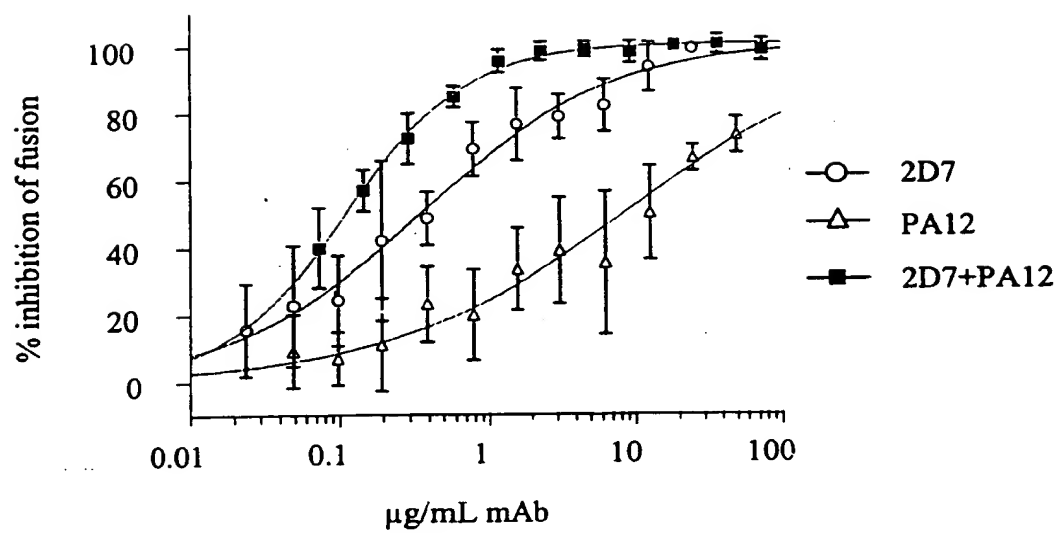


FIGURE 7



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FIGURE 8

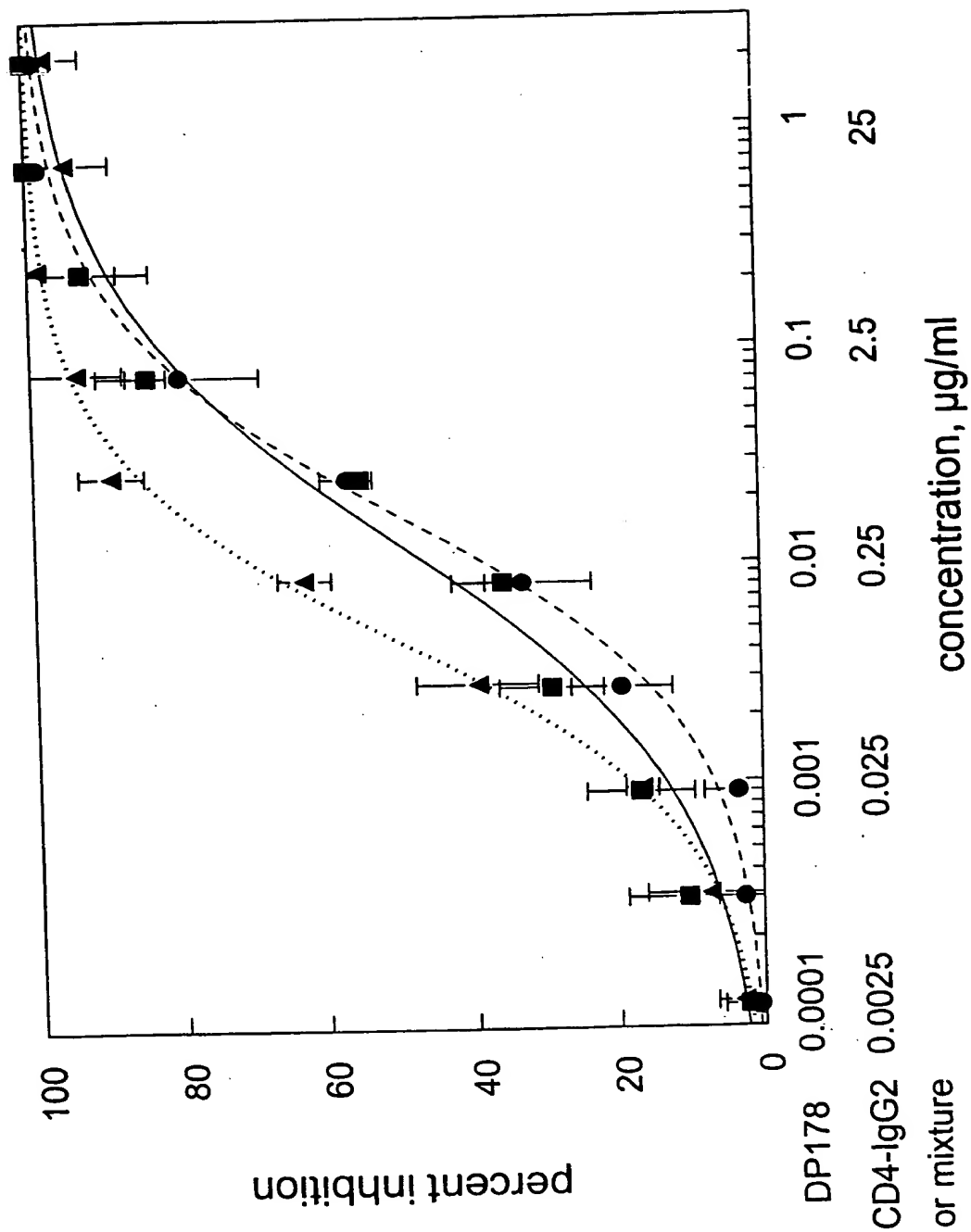


FIGURE 9

Percent Inhibition	Combination Index			
	CD4-IgG2:T-20 Mass Ratio			
	25:1 (low)	25:1 (high)	5:1	1:1
95	0.32	0.20	0.22	0.50
90	0.38	0.25	0.27	0.55
85	0.43	0.29	0.30	0.59
80	0.47	0.33	0.34	0.62
75	0.51	0.36	0.37	0.65
70	0.54	0.39	0.40	0.67
65	0.58	0.42	0.43	0.70
60	0.61	0.45	0.45	0.73
55	0.65	0.48	0.49	0.75
50	0.69	0.51	0.52	0.78

## FIGURE 10

Percent Inhibition	T-20				CD4-IgG2			
	Concentration, $\mu\text{g/ml}$		Dose Reduction		Concentration, $\mu\text{g/ml}$		Dose Reduction	
	Alone	Combination	Alone	Combination	Alone	Combination	Alone	Combination
99	1.1	0.17	6.6		130	4.3		29
95	0.21	0.044	4.9		19	1.10		17
90	0.10	0.024	4.2		7.8	0.59		13
70	0.025	0.0076	3.3		1.6	0.19		8.4
50	0.011	0.0039	2.8		0.60	0.095		6.3

FIGURE 11A

Percent Inhibition	Combination Index	PRO 542				PA12				T-20			
		Concentration, nM		Dose Reduction		Concentration, nM		Dose Reduction		Concentration, nM		Dose Reduction	
		Alone	Mix	Alone	Mix	Alone	Mix	Alone	Mix	Alone	Mix	Alone	Mix
95	0.41	10	2.1	4.8	2.1	730	2.8	260	94	19	19	4.9	4.9
90	0.45	7.0	1.6	4.4	2.1	320	2.1	150	63	14	14	4.5	4.5
70	0.47	4.1	0.92	4.5	1.2	72	1.2	60	30	8.1	8.1	3.7	3.7
50	0.48	3.1	0.66	4.7	0.87	28	0.87	32	19	5.8	5.8	3.3	3.3

PRO 542, PA12 and T-20 were used in an approximate 1:1:10 molar concentration ratio.

FIGURE 11B

Percent Inhibition	Combination Index	PRO 542				PRO 140				T-20			
		Concentration, nM		Dose		Concentration, nM		Dose		Concentration, nM		Dose	
		Alone	Mix	Reduction	Mix	Alone	Mix	Reduction	Mix	Alone	Mix	Reduction	Mix
95	0.40	8.5	1.9	4.5	1.0	19	1.0	19	140	17	8.2		
90	0.39	7.1	1.5	4.7	0.77	13	0.77	17	100	13	7.7		
70	0.37	5.3	0.87	6.1	0.46	7.2	0.46	16	57	7.7	7.4		
50	0.35	4.6	0.63	7.3	0.34	4.9	0.34	14	40	5.6	7.1		

PRO 542, PRO 140 and T-20 were used in an approximate 2:1:20 molar concentration ratio.

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FIGURE 11C

Percent Inhibition	Combination Index	PRO 542				PRO 140				T-20			
		Concentration, nM		Dose Reduction		Concentration, nM		Dose Reduction		Concentration, nM		Dose Reduction	
		Alone	Mix	Alone	Mix	Alone	Mix	Alone	Mix	Alone	Mix	Alone	Mix
95	0.24	61	2.5	24	11.9	0.72	17	156	22	7.1			
90	0.22	32	1.4	23	8.4	0.40	21	96	13	7.4			
70	0.19	9.8	0.50	20	4.5	0.14	32	40	4.5	8.9			
50	0.18	4.7	0.26	18	3.0	0.074	41	23	2.3	10			

PRO 542, PRO 140 and T-20 were used in an approximate 4:1:30 molar concentration ratio.

FIGURE 11D

		PRO 140			T-20		
Percent Inhibition	Combination Index	Concentration, nM		Dose Reduction		Concentration, nM	
		Alone	Mix	Alone	Mix	Alone	Mix
95	0.56	12	1.8	6.7	156	55	2.8
90	0.55	8.4	1.1	7.4	96	35	2.7
70	0.55	4.5	0.51	8.8	40	16	2.5
50	0.56	3.0	0.31	9.9	23	10	2.4

PRO 140 and T-20 were used in an approximate 1:30 molar concentration ratio.

# *Triple Combination Synergistically Blocks HIV-1 Entry (I)*

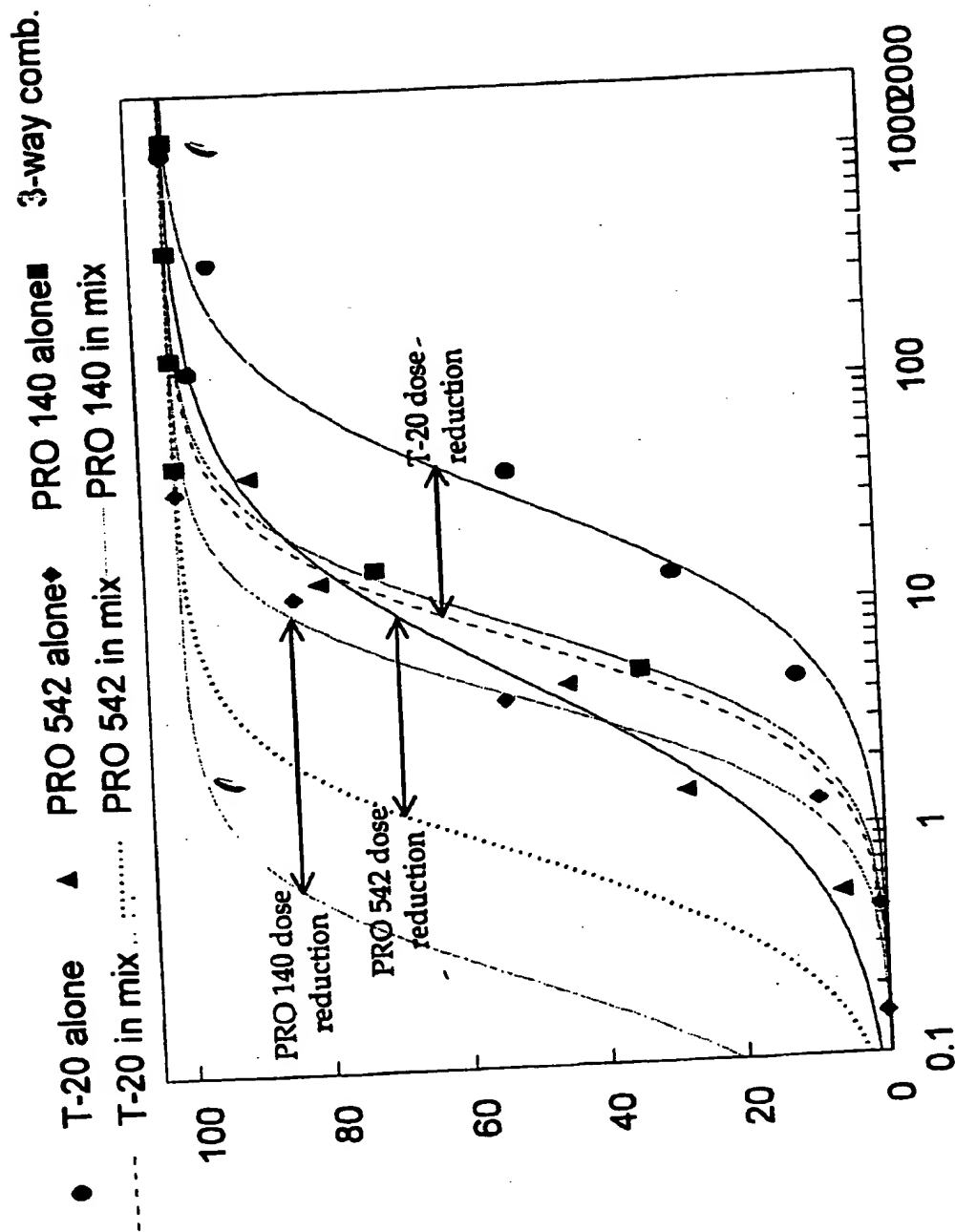


FIGURE 13 ST 982860

